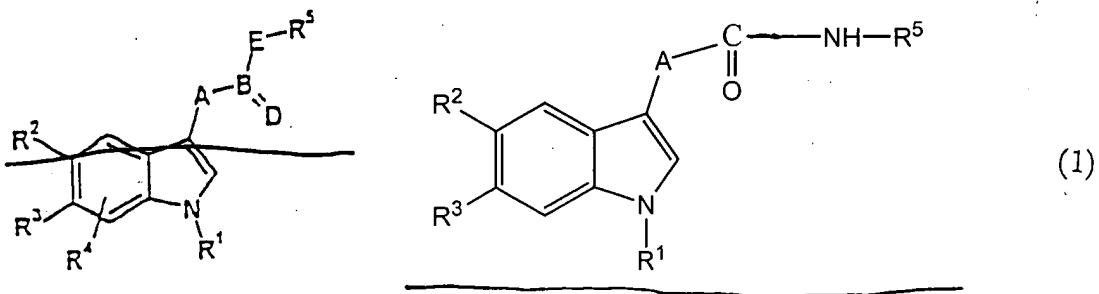


IN THE CLAIMS

Claims 1-20 (canceled)

21. (currently amended) A process for preparing a compound of Formula 1



and their pharmaceutically acceptable or salts thereof, wherein R^1 , R^5 are independently of each other

(i) — a C_{1-12} -alkyl, straight chain or branched chain, optionally mono- or polysubstituted by OH, SH, NH₂, NHC₁₋₆alkyl, N(C₁₋₆alkyl)₂, NHC₆₋₁₄aryl, N(C₆₋₁₄aryl)₂, N(C₁₋₆alkyl)(C₆₋₁₄aryl), NHCOR⁶, NO₂, CN, F, Cl, Br, I, O C₁₋₆alkyl, O C₆₋₁₄aryl, O(CO)R⁶, S C₁₋₆alkyl, S C₆₋₁₄aryl, SOR⁶, SO₃H, SO₂R⁶, OSO₂C₁₋₆alkyl, OSO₂C₆₋₁₄aryl, (CS)R⁶, COOH, (CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R⁴;

(ii) — C_{2-12} -alkenyl, mono- or polyunsaturated, straight chain or branched chain, optionally mono- or polysubstituted by OH, SH, NH₂, NHC₁₋₆alkyl, N(C₁₋₆alkyl)₂, NHC₆₋₁₄aryl, N(C₆₋₁₄aryl)₂, N(C₁₋₆alkyl)(C₆₋₁₄aryl), NHCOR⁶, NO₂, CN, F, Cl, Br, I, O C₁₋₆alkyl, O C₆₋₁₄aryl, O(CO)R⁶, S C₁₋₆alkyl, S C₆₋₁₄aryl, SOR⁶, SO₃H, SO₂R⁶, OSO₂C₁₋₆alkyl, OSO₂C₆₋₁₄aryl, (CS)R⁶, COOH, (CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms

heteroatoms, which are suitably N, O and S, where the C_{6-14} aryl groups and the included carbocyclic and heterocyclic substituents for their part can optionally be mono- or polysubstituted by R^4 ,

(iii) mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members,

optionally mono- or polysubstituted by OH, SH, NH₂, NHC₆₋₁₆alkyl, N(C₆₋₁₆alkyl)₂, NHC₆₋₁₄aryl, N(C₆₋₁₄aryl)₂, N(C₆₋₁₆alkyl)(C₆₋₁₄aryl), NHCOR⁶, NO₂, CN, F, Cl, Br, I, OC₆₋₁₆alkyl, OC₆₋₁₄aryl, O(CO)R⁶, SC₆₋₁₆alkyl, SC₆₋₁₄aryl, SOR⁶, SO₃H, SO₂R⁶, OSO₂C₆₋₁₆alkyl, OSO₂C₆₋₁₄aryl, (CS)R⁶, COOH, (CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C_{6-14} aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R^4 ,

(iv) mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, optionally mono- or polysubstituted by OH, SH, NH₂, NHC₆₋₁₆alkyl, N(C₆₋₁₆alkyl)₂, NHC₆₋₁₄aryl, N(C₆₋₁₄aryl)₂, N(C₆₋₁₆alkyl)(C₆₋₁₄aryl), NHCOR⁶, NO₂, CN, F, Cl, Br, I, OC₆₋₁₆alkyl, OC₆₋₁₄aryl, O(CO)R⁶, SC₆₋₁₆alkyl, SC₆₋₁₄aryl, SOR⁶, SO₃H, SO₂R⁶, OSO₂C₆₋₁₆alkyl, OSO₂C₆₋₁₄aryl, (CS)R⁶, COOH, (CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C_{6-14} aryl groups and the included carbocyclic and heterocyclic substituents for their part can be optionally mono- or polysubstituted by R^4 , carbo- or heterocyclic saturated or mono- or polyunsaturated spirocycles having from 3 to 10 ring members, where heterocyclic systems contains from 1 to 6 heteroatoms, which are suitably N, O and S, optionally mono- or polysubstituted by OH, SH, NH₂, NHC₆₋₁₆alkyl, N(C₆₋₁₆alkyl)₂, NHC₆₋₁₄aryl, N(C₆₋₁₄aryl)₂, N(C₆₋₁₆alkyl)(C₆₋₁₄aryl), NHCOR⁶, NO₂, CN, F, Cl, Br, I, OC₆₋₁₆alkyl, OC₆₋₁₄aryl, O(CO)R⁶, SC₆₋₁₆alkyl, SC₆₋₁₄aryl, SOR⁶, SO₃H, SO₂R⁶, OSO₂C₆₋₁₆alkyl, OSO₂C₆₋₁₄aryl, (CS)R⁶, COOH, (CO)R⁶, mono-, bi- or

tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C_{6-14} -aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R^4 ;

R^2 , R^3 are hydrogen or OH, where at least one of the two substituents must be OH;

R^4 is H, OH, SH, NH₂, NHC_{1-6} -alkyl, $N(C_{1-6}$ alkyl)₂, NHC_{6-14} -aryl, $N(C_{6-14}$ aryl)₂, $N(C_{1-6}$ alkyl)(C_{6-14} aryl), $NHCOR^6$, NO₂, CN, COOH, (CO)R⁶, (CS)R⁶, F, Cl, Br, I, O C_{1-6} alkyl, O C_{6-14} aryl, O(CO)R⁶, S C_{1-6} alkyl, S C_{6-14} aryl, SOR⁶, SO₂R⁶.

C_1 R⁶ is H, NH₂, NHC_{1-6} -alkyl, $N(C_{1-6}$ alkyl)₂, NHC_{6-14} -aryl, $N(C_{6-14}$ aryl)₂, $N(C_{1-6}$ alkyl)(C_{6-14} aryl)-O C_{1-6} alkyl, O C_{6-14} aryl, S C_{1-6} alkyl, S C_{6-14} aryl, C_{1-12} alkyl, straight chain or branched chain, C_{2-12} alkenyl, mono- or polyunsaturated, straight chain or branched chain, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S;

A is either a bond, or $CH_2)_m$, $(CH_2)_m(CH=CH)_n(CH_2)_p$, $(CHOZ)_m$, (C=O), (C=S), (C=N Z), O, S, NZ, where m and p are cardinal numbers from 0 to 3 and n is a cardinal number from 0 to 2,

Z is H, or a C_{1-12} -alkyl, straight chain or branched chain, C_{2-12} -alkenyl, mono- or polyunsaturated, straight chain or branched chain, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated

or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S;

B is either carbon or sulfur, or (S=O);

D is oxygen, sulfur, CH₂ or NZ, where D can only be S or CH₂ if B is carbon;

E is a bond, or (CH₂)_m, O, S, (NZ), where m and Z have the same meanings as above; wherein

R⁵ is pyridyl which may be optionally mono or polyunsubstituted which method comprises converting a compound of claim 1 wherein R₁ or R³, or R² and R³ is O-R⁷ in which R⁷ is a leaving group

C1 R¹ is a straight or branched C₁₋₁₂ alkyl optionally substituted with phenyl, or C₃₋₈ cycloalkyl radical wherein the phenyl radical is optionally substituted with a halo, nitro, hydroxy, C₁₋₄ alkyl, C₁₋₄ alkoxy, or COOH;

R² and R³ are each independently of each other hydrogen or an OH radical where at least one of R² and R³ are -OH;

R⁵ is a pyridyl radical substituted with at least one halogen radical and is optionally further substitute; and ✓

A is a bond, C=O, or a CHOH radical or a pharmaceutically acceptable salt thereof, which method comprises converting a compound of formula (I), wherein R² or R³ or R² and R³ are O-R⁷, into the compound of formula (I) by removal of R⁷, wherein R⁷ is a substituent that is a leaving group selected from alkyl, cycloalkyl, arylalkyl, aryl, acyl, alkoxy carbonyl, aryloxycarbonyl, aminocarbonyl, N-substituted aminocarbonyl, silyl and sulfonyl group.

22. (canceled)

23. (canceled)

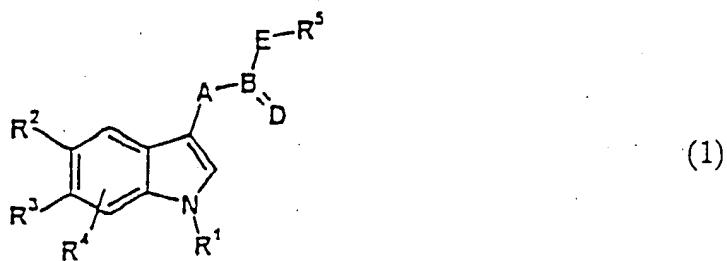
24. (canceled)

25. (canceled)

26. (previously presented) The method of claim 21, wherein R⁵ is substituted with one or two halogens.

27. (canceled)

28. (new-corresponds to former claim 21 before this amendment) A process for preparing a compound of Formula 1



or a salt thereof, wherein R¹, R⁵ are independently of each other

(i) a C₁₋₁₂ alkyl, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₄ aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R⁴,

(ii) -C₂₋₁₂ alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄

aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents for their part can optionally be mono- or polysubstituted by R⁴,

(iii) mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members,

optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R⁴,

(iv) mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents for their part can be optionally mono- or polysubstituted by R⁴, -carbo- or heterocyclic saturated or mono- or polyunsaturated spirocycles

having from 3 to 10 ring members, where heterocyclic systems contains from 1 to 6 heteroatoms, which are suitably N, O and S, optionally mono- or polysubstituted by -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₃H, -SO₂R⁶, -OSO₂C₁₋₆ alkyl, -OSO₂C₆₋₁₄ aryl, -(CS)R⁶, -COOH, -(CO)R⁶, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S, where the C₆₋₁₄ aryl groups and the included carbocyclic and heterocyclic substituents can optionally be mono- or polysubstituted by R⁴,

R², R³ are hydrogen or -OH, where at least one of the two substituents must

be -OH;

C1
R⁴ is -H, -OH, -SH, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl), -NHCOR⁶, -NO₂, -CN, -COOH, -(CO)R⁶, -(CS)R⁶, -F, -Cl, -Br, -I, -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -O(CO)R⁶, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -SOR⁶, -SO₂R⁶.

R⁶ is -H, -NH₂, -NHC₁₋₆ alkyl, -N(C₁₋₆ alkyl)₂, -NHC₆₋₁₄ aryl, -N(C₆₋₁₄ aryl)₂, -N(C₁₋₆ alkyl)(C₆₋₁₄ aryl) -O-C₁₋₆ alkyl, -O-C₆₋₁₄ aryl, -S-C₁₋₆ alkyl, -S-C₆₋₁₄ aryl, -C₁₋₁₂ alkyl, straight-chain or branched-chain, -C₂₋₁₂ alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, -mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, -mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S;

A is either a bond, or -CH₂)_m- , -(CH₂)_m-(CH=CH)_n-(CH₂)_p- , -(CHOZ)_m- , -(C=O)-, -(C=S)-, -(C=N-Z)-, -O-, -S-, -NZ-, where m and p are cardinal numbers from 0 to 3 and n is a cardinal number from 0 to 2,

Z is H, or a C₁₋₁₂ alkyl, straight-chain or branched-chain, C₂₋₁₂ alkenyl, mono- or polyunsaturated, straight-chain or branched-chain, mono-, bi- or tricyclic saturated or mono- or polyunsaturated carbocycles having from 3 to 14 ring members, mono-, bi- or tricyclic saturated or mono- or polyunsaturated heterocycles having from 5 to 15 ring members and from 1 to 6 heteroatoms, which are suitably N, O and S;

B is either carbon or sulfur, or -(S=O)-;

D is oxygen, sulfur, CH₂ or N-Z, where D can only be S or CH₂ if B is carbon;

E is a bond, or (CH₂)_m- , -O-, -S-, -(N-Z)-, where m and Z have the same meanings as above; wherein

C
R⁵ is pyridyl which may be optionally mono or polyunsubstituted which method comprises converting a compound of formula 1 to another compound of formula 1 wherein R² or R³, or R² and R³ is -O-R⁷ by removing the R⁷, wherein R⁷ is a leaving group.

29. (new-corresponds to former claim 22) The process of claim 28, wherein said leaving group is selected from the group consisting of alkyl, cycloalkyl, arylalkyl, aryl, heteroaryl, acyl, alkoxy carbonyl, aryloxycarbonyl, aminocarbonyl, N-substituted aminocarbonyl, silyl, sulfonyl and a complexing agent.

30. (new-corresponds to former claim 23) The process of claim 29, wherein said complexing agent is a compound of boric acid or phosphoric acid, or a compound containing a covalently bonded metal.

31. (new-corresponds to former claim 24) The process of claim 30, wherein said metal is zinc, aluminum, or copper.

32. (new-corresponds to former claim 26) The method of claim 28, wherein R⁵ is substituted with one or two halogens.